COMBINATORIAL APPROACH TO CHIRAL REAGENTS OR CATALYSTS HAVING AMINE OR AMINO ALCOHOL LIGANDS

Abstract of the Disclosure

Functionalized amine derivatives are prepared by reacting an amine, a carbonyl derivative, and an organoboron compound under mild conditions. Organoboronic acids (**4**) react with amines (**2**) and alpha-hydroxy aldehydes (**3**) to give *anti*-alpha-amino alcohols (**1**) with very high diastereoselectivities (>99% de). When optically pure alpha-hydroxy aldehydes are used in this process, no racemization occurs and the products are obtained with very high enantioselectivities (>99%ee). The reaction also works with unprotected glyceraldehyde to give the corresponding amino diol derivatives, while unprotected carbohydrates give the corresponding amino polyols. The chiral amino alcohol products of this process or their derivatives, react further with metals or non-metals to give adducts that are effective catalysts for a variety of asymmetric reactions. Overall, the present invention relies on the facile synthesis of the chiral amino alcohol ligands for the rapid construction of combinatorial libraries of chiral catalysts. These libraries can then be used to identify the most suitable catalyst for a particular asymmetric transformation.